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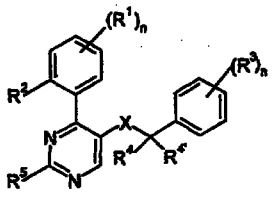
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(54) Title: 4-PHENYL-PYRIMIDINE DERIVATIVES



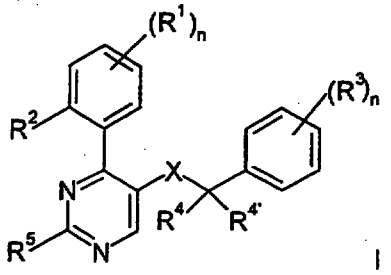
(I)

(57) Abstract: The invention relates to compounds of formula (I) wherein R^1 is hydrogen or halogen; R^2 is hydrogen, halogen, lower alkyl or lower alkoxy; R^1 and R^2 may be together with the two carbon atoms -CH=CH-CH=CH-; R^3 is halogen, trifluoromethyl, lower alkyl or lower alkoxy; $R^4/R^{4'}$ are independently from each other hydrogen or lower alkyl; R^5 is lower alkyl, lower alkoxy, amino, phenyl, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, $-(CH_2)_n$-piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, $-(CH_2)_n$-morpholinyl, $-(CH_2)_n$-piperidinyl, $-(CH_2)_{n+1}$-imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl, benzylamino, $-NH-(CH_2)_{n+1}N(R^{4''})_2$, $-(CH_2)_{n+1}N(R^{4''})_2$, $-O-(CH_2)_{n+1}$-morpholinyl, $-O-(CH_2)_{n+1}$-piperidinyl or $-O-(CH_2)_{n+1}N(R^{4''})_2$, wherein $R^{4''}$ is hydrogen or lower alkyl; and n is 0 - 2; X is $-C(O)N(R^{4''})-$ or $-N(R^{4''})C(O)-$; and to pharmaceutically acceptable acid addition salts thereof. It has been shown that the compounds have a good affinity to the NK-1 receptor and may therefore be used for the treatment of diseases related to this receptor.

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4-Phenyl-pyrimidine derivatives

The present invention relates to compounds of the general formula



I

wherein

R^1 is hydrogen or halogen;

R^2 is hydrogen, halogen, lower alkyl or lower alkoxy;

R^1 and R^2 may be together with the two carbon ring atoms –CH=CH-CH=CH-;

R^3 is halogen, trifluoromethyl, lower alkyl or lower alkoxy;

$R^4/R^{4'}$ are independently from each other hydrogen or lower alkyl;

R^5 is lower alkyl, lower alkoxy, amino, phenyl, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, $-(CH_2)_n$-piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, $-(CH_2)_n$-morpholinyl, $-(CH_2)_n$-piperidinyl, $-(CH_2)_{n+1}$-imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl, benzylamino, $-NH-(CH_2)_{n+1}N(R^{4''})_2$, $-(CH_2)_{n+1}N(R^{4''})_2$, $-O-(CH_2)_{n+1}$-morpholinyl, $-O-(CH_2)_{n+1}$-piperidinyl or $-O-(CH_2)_{n+1}N(R^{4''})_2$, wherein $R^{4''}$ is hydrogen or lower alkyl; and

n is 0 - 2;

X is $-C(O)N(R^{4''})-$ or $-N(R^{4''})C(O)-$;

and to pharmaceutically acceptable acid addition salts thereof.

The compounds of formula I and their salts are characterized by valuable therapeutic properties. It has been surprisingly found that the compounds of the present invention are